

G1 H, Me

G2 X, NO2

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:19:15 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 7 TO 298

PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:19:24 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 62 TO ITERATE

100.0% PROCESSED 62 ITERATIONS

37 ANSWERS

SEARCH TIME: 00.00.01

L3 37 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

178.57

FILE 'CAPLUS' ENTERED AT 16:19:31 ON 03 APR 2008

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FILE LAST UPDATED: 2 Apr 2008 (20080402/ED)

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=> s l3

L4 2 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:684674 CAPLUS

DOCUMENT NUMBER: 147:301126

TITLE: 3-Arylamino-2H-1,2,4-benzothiadiazin-5-ol

1,1-dioxides

AUTHOR(S):

as novel and selective CXCR2 antagonists
Wang, Yonghui; Busch-Petersen, Jakob; Wang, Feng; Ma,
Lanping; Fu, Wei; Kerns, Jeffrey K.; Jin, Jian;
Palovich, Michael R.; Shen, Jing-Kang; Burman,

Miriam;

Foley, James J.; Schmidt, Dulcie B.; Hunsberger,
Gerald E.; Sarau, Henry M.; Widdowson, Katherine L.
CORPORATE SOURCE: Discovery Medicinal Chemistry, Molecular Discovery
Research, GlaxoSmithKline, Collegeville, PA, 19426,
USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007),

17(14), 3864-3867

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 147:301126

AB A series of 3-arylamino-2H-1,2,4-benzothiadiazin-5-ol 1,1-dioxides were
prepared and shown to be novel and selective antagonists of the CXCR2
receptor. Synthesis, structure and activity relationships, selectivity,
and some developability properties are described.

IT 820214-10-6 947159-66-2 947159-67-3

947159-68-4

RL: PAC (Pharmacological activity); BIOL (Biological study)

1,1-dioxides as

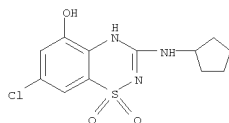
CXCR2 antagonists)

RN 820214-10-6 CAPLUS

CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-(cyclopentylamino)-,

1,1-dioxide

(CA INDEX NAME)



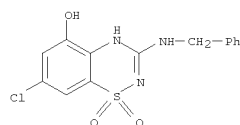
RN 947159-66-2 CAPLUS

CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(phenylmethyl)amino]-,

1,1-dioxide (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

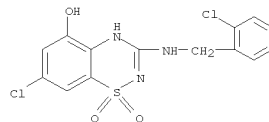
(Continued)



RN 947159-67-3 CAPLUS

CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-chlorophenyl)methyl]amino]-,

1,1-dioxide (CA INDEX NAME)

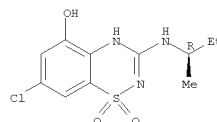


RN 947159-68-4 CAPLUS

CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(1R)-1-methylpropyl]amino]-,

1,1-dioxide (CA INDEX NAME)

Absolute stereochemistry.



IT 947159-64-0P 947159-65-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant
or reagent)

(preparation of 3-arylamino-2H-1,2,4-benzothiadiazin-5-ol

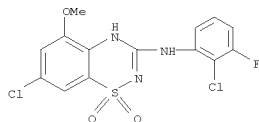
1,1-dioxides as

CXCR2 antagonists)

RN 947159-64-0 CAPLUS

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

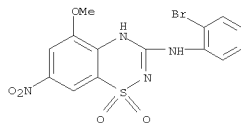
CN 4H-1,2,4-Benzothiadiazin-3-amine, 7-chloro-N-(2-chloro-3-fluorophenyl)-5-methoxy-, 1,1-dioxide (CA INDEX NAME)



RN 947159-65-1 CAPLUS

CN 2H-1,2,4-Benzothiadiazin-3-amine, N-(2-bromophenyl)-5-methoxy-7-nitro-,

1,1-dioxide (CA INDEX NAME)



IT 820214-07-1P 820214-12-8P 820214-14-0P

820214-15-1P 947159-39-3P 947159-40-2P

947159-41-3P 947159-42-4P 947159-43-5P

947159-44-6P 947159-45-7P 947159-46-8P

947159-47-9P 947159-48-0P 947159-49-1P

947159-50-4P 947159-51-5P 947159-53-7P

947159-54-8P 947159-55-9P 947159-56-0P

947159-57-1P 947159-58-2P 947159-59-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)

(preparation of 3-arylamino-2H-1,2,4-benzothiadiazin-5-ol

1,1-dioxides as

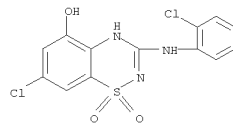
CXCR2 antagonists)

RN 820214-07-1 CAPLUS

CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-chlorophenyl)amino]-,

1,1-dioxide (CA INDEX NAME)

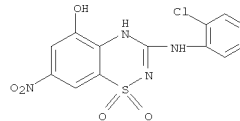
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 820214-12-8 CAPLUS

CN 2H-1,2,4-Benzothiadiazin-5-ol, 3-[(2-chlorophenyl)amino]-7-nitro-,

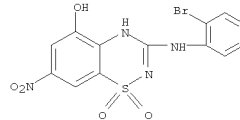
1,1-dioxide (CA INDEX NAME)



RN 820214-14-0 CAPLUS

CN 2H-1,2,4-Benzothiadiazin-5-ol, 3-[(2-bromophenyl)amino]-7-nitro-,

1,1-dioxide (CA INDEX NAME)

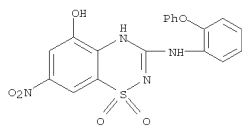


RN 820214-15-1 CAPLUS

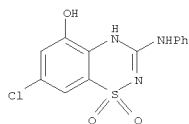
CN 2H-1,2,4-Benzothiadiazin-5-ol, 7-nitro-3-[(2-phenoxyphenyl)amino]-,

1,1-dioxide (CA INDEX NAME)

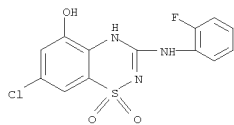
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 947159-39-9 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-(phenylamino)-, 1,1-dioxide
 (CA INDEX NAME)

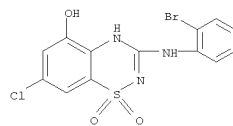


RN 947159-40-2 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-fluorophenyl)amino]-, 1,1-dioxide
 (CA INDEX NAME)

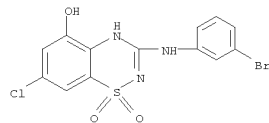


RN 947159-41-3 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-bromophenyl)amino]-, 1,1-dioxide
 (CA INDEX NAME)

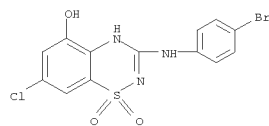
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 947159-42-4 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(3-bromophenyl)amino]-, 1,1-dioxide
 (CA INDEX NAME)

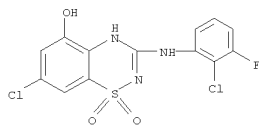


RN 947159-43-5 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(4-bromophenyl)amino]-, 1,1-dioxide
 (CA INDEX NAME)

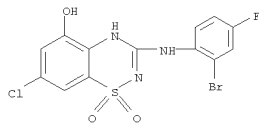


RN 947159-44-6 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-chloro-3-fluorophenyl)amino]-, 1,1-dioxide
 (CA INDEX NAME)

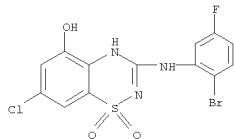
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 947159-45-7 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-bromo-4-fluorophenyl)amino]-, 1,1-dioxide
 (CA INDEX NAME)

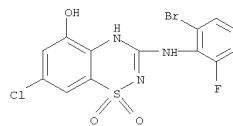


RN 947159-46-8 CAPLUS
 CN 2H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-bromo-5-fluorophenyl)amino]-, 1,1-dioxide
 (CA INDEX NAME)

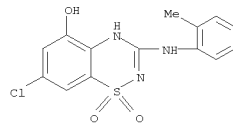


RN 947159-47-9 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-bromo-6-fluorophenyl)amino]-, 1,1-dioxide
 (CA INDEX NAME)

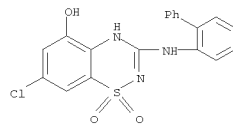
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 947159-48-0 CAPLUS
 CN 2H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-methylphenyl)amino]-, 1,1-dioxide
 (CA INDEX NAME)

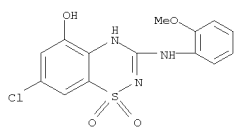


RN 947159-49-1 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(1,1'-biphenyl)-2-ylamino]-, 1,1-dioxide
 (CA INDEX NAME)

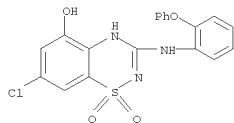


RN 947159-50-4 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-methoxyphenyl)amino]-, 1,1-dioxide
 (CA INDEX NAME)

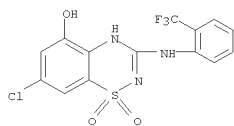
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 947159-51-5 CAPLUS
 CN 2H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-phenoxyphenyl)amino]-, 1,1-dioxide (CA INDEX NAME)

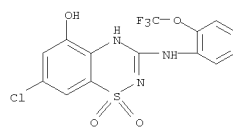


RN 947159-53-7 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[[2-(trifluoromethyl)phenyl]amino]-, 1,1-dioxide (CA INDEX NAME)

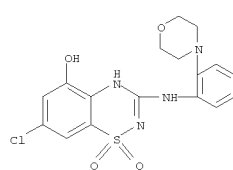


RN 947159-54-8 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[[2-(trifluoromethoxy)phenyl]amino]-, 1,1-dioxide (CA INDEX NAME)

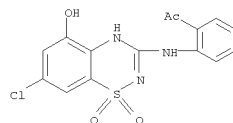
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 947159-55-9 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[[2-(4-morpholinyl)phenyl]amino]-, 1,1-dioxide (CA INDEX NAME)

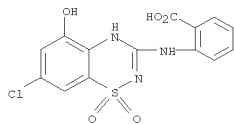


RN 947159-56-0 CAPLUS
 CN Ethanone, 1-[2-[(7-chloro-5-hydroxy-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)amino]phenyl]- (CA INDEX NAME)

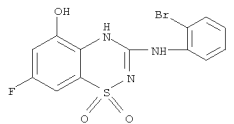


RN 947159-57-1 CAPLUS
 CN Benzoic acid, 2-[(7-chloro-5-hydroxy-1,1-dioxido-4H-1,2,4-benzothiadiazin-3-yl)amino]- (CA INDEX NAME)

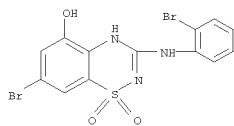
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 947159-58-2 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 3-[(2-bromophenyl)amino]-7-fluoro-, 1,1-dioxide (CA INDEX NAME)

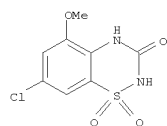


RN 947159-59-3 CAPLUS
 CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-bromo-3-[(2-bromophenyl)amino]-, 1,1-dioxide (CA INDEX NAME)

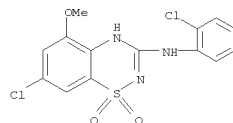


IT 820214-08-2P 820214-09-3P 947159-63-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 3-arylamino-2H-1,2,4-benzothiadiazin-5-ol 1,1-dioxides as CXCR2 antagonists)
 RN 820214-08-2 CAPLUS
 CN 2H-1,2,4-Benzothiadiazin-3(4H)-one, 7-chloro-5-methoxy-, 1,1-dioxide (CA INDEX NAME)

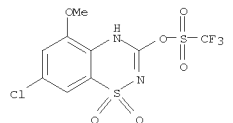
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 820214-09-3 CAPLUS
 CN 2H-1,2,4-Benzothiadiazin-3-amine, 7-chloro-N-(2-chlorophenyl)-5-methoxy-, 1,1-dioxide (CA INDEX NAME)



RN 947159-63-9 CAPLUS
 CN Methanesulfonic acid, 1,1,1-trifluoro-, 7-chloro-5-methoxy-1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl ester (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:14159 CAPLUS

DOCUMENT NUMBER: 142:107422

TITLE: IL-8 receptor antagonists of substituted 3-phenylamino-4H-1,2,4-benzothiadiazin-5-ol 1,1-dioxides

INVENTOR(S): Busch-Petersen, Jakob; Fu, Wei; Kerns, Jeffrey K.; Palovich, Michael R.; Widdowson, Katherine Louise

PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005000231	A2	20050106	WO 2004-US17892	20040604
WO 2005000231	A3	20050512		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1631559	A2	20060308	EP 2004-754490	20040604
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR			
JP 2006527201	T	20061130	JP 2006-515230	20040604
US 20060122173	A1	20060608	US 2005-559154	20051205
PRIORITY APPLN. INFO.:			US 2003-476656P	P 20030606
			WO 2004-US17892	W 20040604

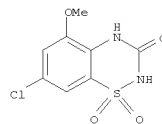
AB This invention relates to novel compds. of substituted 3-phenylamino-4H-1,2,4-benzothiadiazin-5-ol 1,1-dioxides and compns. thereof, useful in the treatment of disease states mediated by the chemokine, Interleukin-8 (IL-8). For example, 7-chloro-3-[(2-chlorophenyl)amino]-4H-1,2,4-benzothiadiazin-5-ol 1,1-dioxide was synthesized and was found to be able to prevent elastase release from human neutrophils and can be used in brain injury.

IT 820214-08-2P 820214-09-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn of IL-8 receptor antagonists of substituted 3-phenylamino-4H-1,2,4-benzothiadiazin-5-ol 1,1-dioxides for treatment of inflammations and CNS disorders and other chemokine related disorders)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

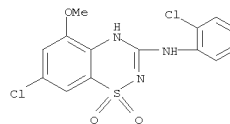
RN 820214-08-2 CAPLUS

CN 2H-1,2,4-Benzothiadiazin-3-amine, 7-chloro-5-methoxy-, 1,1-dioxide (CA INDEX NAME)



RN 820214-09-3 CAPLUS

CN 2H-1,2,4-Benzothiadiazin-3-amine, 7-chloro-N-(2-chlorophenyl)-5-methoxy-, 1,1-dioxide (CA INDEX NAME)



IT 820214-07-1P 820214-10-6P 820214-11-7P

820214-12-8P 820214-13-9P 820214-14-0P

820214-15-1P 820214-16-2P

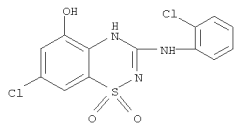
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn of IL-8 receptor antagonists of substituted 3-phenylamino-4H-1,2,4-benzothiadiazin-5-ol 1,1-dioxides for treatment of inflammations and CNS disorders and other chemokine related disorders)

RN 820214-07-1 CAPLUS

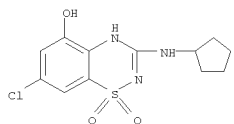
CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2-chlorophenyl)amino]-, 1,1-dioxide (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



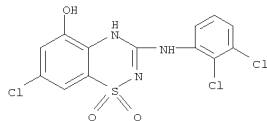
RN 820214-10-6 CAPLUS

CN 4H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-(cyclopentylamino)-, 1,1-dioxide (CA INDEX NAME)



RN 820214-11-7 CAPLUS

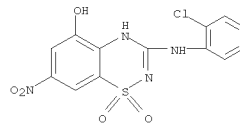
CN 2H-1,2,4-Benzothiadiazin-5-ol, 7-chloro-3-[(2,3-dichlorophenyl)amino]-, 1,1-dioxide (9C1) (CA INDEX NAME)



RN 820214-12-8 CAPLUS

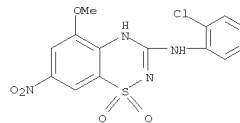
CN 2H-1,2,4-Benzothiadiazin-5-ol, 3-[(2-chlorophenyl)amino]-7-nitro-, 1,1-dioxide (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



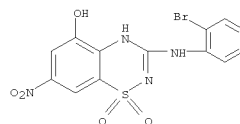
RN 820214-13-9 CAPLUS

CN 2H-1,2,4-Benzothiadiazin-3-amine, N-(2-chlorophenyl)-5-methoxy-7-nitro-, 1,1-dioxide (CA INDEX NAME)



RN 820214-14-0 CAPLUS

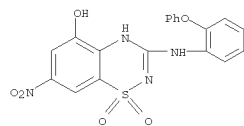
CN 2H-1,2,4-Benzothiadiazin-5-ol, 3-[(2-bromophenyl)amino]-7-nitro-, 1,1-dioxide (CA INDEX NAME)



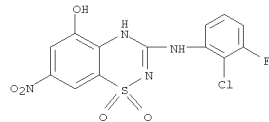
RN 820214-15-1 CAPLUS

CN 2H-1,2,4-Benzothiadiazin-5-ol, 7-nitro-3-[(2-phenoxyphenyl)amino]-, 1,1-dioxide (CA INDEX NAME)

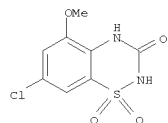
L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 820214-16-2 CAPLUS
CN 2H-1,2,4-Benzothiadiazin-5-ol,
3-[(2-chloro-3-fluorophenyl)amino]-7-nitro-
, 1,1-dioxide (CA INDEX NAME)



IT 820214-08-2DP, reaction products with triflic anhydride
820214-19-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn of IL-8 receptor antagonists of substituted 3-phenylamino-4H-
1,2,4-benzothiadiazin-5-ol 1,1-dioxides for treatment of inflammations
and CNS disorders and other chemokine related disorders)
RN 820214-08-2 CAPLUS
CN 2H-1,2,4-Benzothiadiazin-3(4H)-one, 7-chloro-5-methoxy-, 1,1-dioxide (CA
INDEX NAME)



RN 820214-19-5 CAPLUS
CN 2H-1,2,4-Benzothiadiazin-3(4H)-one, 5-methoxy-7-nitro-, 1,1-dioxide (CA
INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
INDEX NAME)